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专利性国际初步报告
(PCT 第II章)
(PCT36 和细则 70)

REC'D 02 NOV 2004

WIPO


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申请人或代理人的档案号 IEC030034PCT	关于后续行为 参见 PCT/IPEA/416 表	
国际申请号 PCT/CN03/01046	国际申请日(日/月/年) 05.12 月 2003 (05.12.2003)	优先权日(日/月/年) 05.12 月 2002 (05.12.2002)
国际专利分类(IPC)或者国家分类和 IPC 两种分类 IPC(7) A61K31/37, C07D311/20, A61P13/12, 3/10, 9/10, 9/12, 35/00		
申请人 中国医学科学院药物研究所 等		

1. 本报告是国际初步审查单位根据条约 35 做出的国际初步审查报告, 并依照条约 36 将其传送给申请人。
2. 本报告共计 3 页, 包括扉页。
3. ☒ 本报告还有附件,
 - a. ☒ (传送给国际局和申请人)共计 3 页, 包含
 - ☒ 修改后的并且作为本报告基础的说明书修改页、权利要求书修改页和/或附图修改页, 和/对本国际初步审查单位所做出的更正页(见 PCT 细则 70.16 和行政规程 607)。
 - ☐ 国际初步审查单位认为修改超出原始公开范围的废除页, 参见第 I 栏第 4 项和补充栏。
 - b. ☐ (传送给国际局) 共计 (指明电子载体的类型和数量) _____, 包含有在与序列表有关的补充栏中指明的计算机可读形式的序列表和/或与其相关的表格。(行政规程 802)

3. 本报告包括关于下列各项的内容:

- I ☒ 报告的基础
- II ☐ 优先权
- III ☐ 不做出关于新颖性、创造性和工业实用性的意见
- IV ☐ 缺乏发明的单一性
- V ☒ 按条约 35(2)关于新颖性、创造性或工业实用性的推断性意见; 支持这种意见的引证和解释
- VI ☐ 引用的某些文件
- VII ☐ 国际申请中的某些缺陷
- VIII ☐ 对国际申请的某些意见

提交要求书的日期 05.7 月 2004 (05.07.2004)	完成本报告的日期 11.10 月 2004 (11.10.2004)
中华人民共和国国际知识产权局 IPEA/CN 中国北京市海淀区西土城路 6 号(100088)	受权官员 
传真号: (86-10) 62019451	电话号码 (86-10) 62085256

I. 报告的基础

1. 关于所使用的语言, 除本项下另有说明外, 本书面意见基于的语言为提交本国际申请时所使用的语言。

☐ 本书面意见基于原始语言的使用后述语言之译文 _____,

这种语言是

☐ 为了国际检索而提交的译文所使用的语言 (细则 12.3 和 23.1 (b))。

☐ 为了国际申请的公布而提交的译文所使用的语言 (细则 12.4)。

☐ 为了国际初步审查而提交的译文所使用的语言 (细则 55.2 和/或 55.3)。

2. 关于国际申请中各个部分, 本报告基于 (申请人为答复受理局根据条约 14 所发通知而提交的替换页, 在本报告中视为“原始提交”的文件, 不作为本报告的附件)

☐ 原始提交的国际申请。

☒ 说明书, 第 1-56 页 原始提交的,

第 _____ 页 初审单位收到的,

第 _____ 页 初审单位收到的。

☒ 权利要求, 第 _____ 页, 原始提交的,

第 _____ 页, 按条约 19 条修改的(附有说明),

第 57-59 页 23.9 月 2004 提交的 初审单位收到的,

第 _____ 页 初审单位收到的。

☐ 附图, 第 _____ 页, 原始提交的。

第 _____ 页*, 初审单位收到的,

第 _____ 页*, 初审单位收到的。

☐ 序列表和/或相关表格——参见与序列表有关的补充栏。

3. 修改导致以下内容的删除:

☐ 说明书, 第 _____ 页

☒ 权利要求, 第 1-2 项

☐ 附图, 第 _____ 页, 图 _____

☐ 序列表 (具体说明) _____

☐ 与序列表相关的表格 (具体说明) _____

4. ☐ 由于本报告附件的(某些)修改, 如下所列, 被认为超出了原始公开的范围, 如补充栏所示, 因此本报告是按照没有修改的情况做出的(细则 70.2(c))。

☐ 说明书, 第 _____ 页

☐ 权利要求, 第 _____ 项

☐ 附图, 第 _____ 页, 图 _____

☐ 序列表 (具体说明) _____

☐ 与序列表相关的表格 (具体说明) _____

*如果第 4 项适用, 一些或全部的文件页可能做出“废除”标记。

V. 按条约 35(2)关于新颖性、创造性或工业实用性的推断性意见；支持这种意见的引证和解释

1. 意见

新颖性(N)	权利要求 1-18	是
	权利要求	否
创造性(IS)	权利要求 1-18	是
	权利要求	否
工业实用性(IA)	权利要求 1-18	是
	权利要求	否

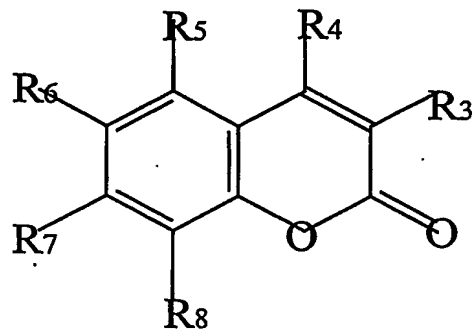
2. 引证和解释 (细则 70.7)

权利要求 1-18 具有新颖性、创造性。D1、D2 和 D3 均没有公开与本发明结构相同的香豆素类化合物的制剂，并且不能推出其制剂所具有的用途。因此权利要求 1—18 具有新颖性和创造性，符合 PCT 条约第 33 (2)、(3) 的要求。

权利要求 1—18 具备实用性。这里所述的化合物、组合物是可以在产业中制备和使用的，符合 PCT 条约第 33 (4) 要求的实用性。

权 利 要 求 (修改)

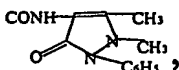
1. 一种如通式 (I) 所示的化合物



(I)

其特征在于,

R_3 选自H, 羧基, 酯基, 5'-(苯基噁二唑基-2'), 5'-(吡啶基-4''-噁二唑基-2'),



CONHR₉,

其中 R_9 选自C₂—C₈脂肪酸, 苯甲酰氨基, 异烟酰氨基, 未取代、单取代或多取代的苯基, 苯环上的取代基可以为OH, C₁—C₈烷氧基, CF₃, 羧基, 酯基, OCH₂CO₂H, NO₂, 卤素, SO₃H, SO₂NHR₁₁,

其中 R_{11} 选自H, 脒基, 2''-噻唑基, 3''-(5''-甲基异噁唑基), 2''-嘧啶基, 2''-(4'',6''-二甲基嘧啶基), 4''-(5'',6''-二甲氧基嘧啶基);

R_4 选自H, CONHR₁₀, R_{10} 选自C₂—C₈脂肪酸, 苯甲酰氨基, 异烟酰氨基, 未取代、单取代或多取代的苯基, 苯环上的取代基可以为OH, C₁—C₈烷氧基, CF₃, 羧基, 酯基, OCH₂CO₂H, NO₂, 卤素, SO₃H, SO₂NHR₁₂, 其中 R_{12} 为脒基, 2''-噻唑基, 3''-(5''-甲基异噁唑基), 2''-嘧啶基, 2''-(4'',6''-二甲基嘧啶基), 4''-(5'',6''-二甲氧基嘧啶基);

R_5 选自H, C₁—C₄的烷基;

R_6 选自H, C_1-C_{12} 的烷基, 卤素, NO_2 , $CONHR_{13}$, 其中 R_{13} 选自取代苯基;

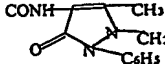
R_7 选自H, OH, C_1-C_4 烷基, 烷氧基, 羧基烷氧基, OCH_2CONHR_{14} , 其中 R_{14} 为未取代、单取代、多取代苯基, 苯环上的取代基可以是 OH, OCH_3 , CF_3 , CO_2H , $CO_2C_2H_5$, NO_2 ;

R_8 选自H, C_1-C_4 烷基, C_1-C_4 烷氧基, NO_2 ;

条件是, 当 R_3 , R_5 和 R_5 皆为H且 R_7 为OH时, R_4 和 R_7 不为选自H, C_{1-6} 烷基或 C_{1-6} 烷氧基的基团。

2. 根据权利要求1所述的化合物, 其特征在于,

R_3 选自H, $COOH$, $CO_2C_2H_5$, 5'-(苯基噁二唑基-2'), 5'-(吡啶基-4''-

噁二唑基-2'), , $CONHR_9$, 其中 R_9 为 n-丁酸基, o-, m-, p-苯酚基, o-, m-, p-苯甲酸基, o-, m-, p-苯甲酸酯基, 甲氧苯基, 3'-水杨酸基, 4'-水杨酸基, m- CF_3 -苯基, 3'- CF_3 -4'- NO_2 -苯基, 2'- $COOH$ -4'-I 苯基, 异烟酰氨基, 苯甲酰氨基, 3'-羧基亚甲氧基苯基, 4-氯磺酰苯基, 4-胍磺酰苯基, 4-(2'-噻唑氨磺酰)苯基, 4'-(5'-甲基异噁唑-3'-氨磺酰)苯基, 4-嘧啶氨磺酰苯基, 4-(4'',6''-二甲基嘧啶氨磺酰)苯基, 4'-(5'',6''-二甲氧基嘧啶)氨磺酰苯基;

R_4 选自H, $CONHR_{10}$, R_{10} 为H, 4- $COOH$ -苯基, 4- $CO_2C_2H_5$ -苯基, 3- CF_3 -苯基;

R_5 选自H, CH_3 ;

R_6 选自H, C_2H_5 , n- C_6H_{13} , NO_2 , NH_2 , Cl, Br, $CONHR_{13}$, 其中 R_{13} 为4-苯甲酸和4-苯甲酸乙酯;

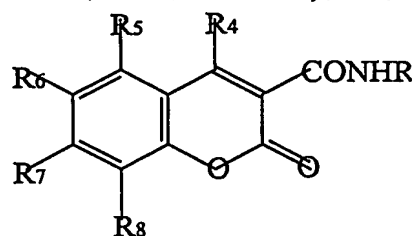
R_7 选自H, OH, CH_3 , OCH_3 , OCH_2CONHR_{14} , 其中 R_{14} 为苯基, o-, m-, p-羟基苯基, o-, m-, p-羧基苯基, 4'-乙氧羰基苯基, 3'-

乙氧羰基苯基, 3'-三氟甲基苯基, 3'-三氟甲基, 4'-硝基, 苯基, 4'-甲氧基, 4'-水杨酸基, 3'-水杨酸基;

R_8 选自H, CH_3 , OCH_3 , NO_2 ;

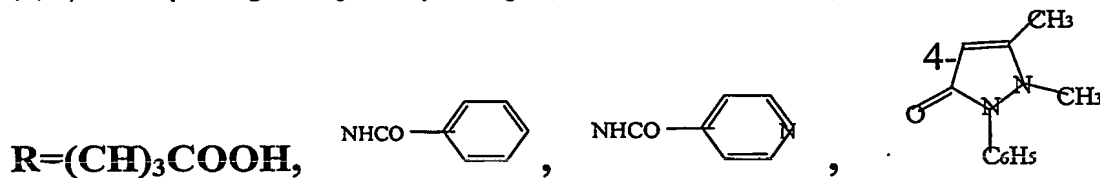
条件是, 当 R_3 , R_5 和 R_6 皆为H且 R_7 为OH时, R_4 和 R_7 不为选自H, C_{1-6} 烷基或 C_{1-6} 烷氧基的基团。

3. 根据权利要求1所述的化合物, 其特征在于, 如通式(Ia)所示

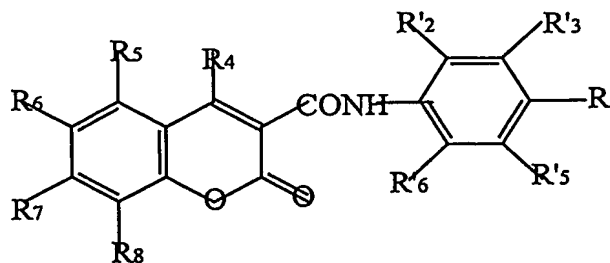


Ia

其中, R_4 , R_5 , R_6 , R_7 , R_8 的定义同权利要求1相同,



4. 根据权利要求1所述的化合物, 其特征在于, 如通式(Ib)所示



Ib

其中 R_4 , R_5 , R_6 , R_7 , R_8 的定义同权利要求1相同;

Translation

PATENT COOPERATION TREATY

PCT


REC'D 02 NOV 2004

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INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference IPC030034PCT		FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. PCT/CN03/01046	International filing date (day/month/year) 05.Dec.2003 (05.12.2003)	Priority date (day/month/year) 05.Dec.2002(05.12.2002)	
International Patent Classification (IPC) or national classification and IPC IPC(7) A61K31/37, C07D311/20, A61P13/12, 3/10, 9/10, 9/12, 35/00			
Applicant INSTITUTE OF MATERIA MEDICA, CHINESE ACADEMY OF MEDICAL SCIENCES et. al.			
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <u>3</u> sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input checked="" type="checkbox"/> (sent to the applicant and to the International Bureau) a total of <u>3</u> sheets, as follows:</p> <p><input checked="" type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>			
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the report</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>			
Date of submission of the demand 05.JUL.2004 (05.07.2004)		Date of completion of this report 11.OCT.2004 (11.10.2004)	
Name and mailing address of the IPEA/CN 6 Xitucheng Rd., Jimen Bridge, Haidian District, 100088 Beijing, China Facsimile No. 86-10-62019451		Authorized officer LI Gang  Telephone No. 86-10-62085256	

Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on translations from the original language into the following language _____, which is the language of a translation furnished for the purposes of:

- ☐ international search (under Rules 12.3 and 23.1(b))
☐ publication of the international application (under Rule 12.4)
☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

☐ the international application as originally filed/furnished

☒ the description:

pages 1-56 as originally filed/furnished

pages * _____ received by this Authority on _____

pages * _____ received by this Authority on _____

☒ the claims:

pages _____ as originally filed/furnished

pages * _____ as amended (together with any statement) under Article 19

pages * 57-59 received by this Authority on 23.Sep.2004

pages * _____ received by this Authority on _____

☐ the drawings:

pages _____ as originally filed/furnished

pages * _____ received by this Authority on _____

pages * _____ received by this Authority on _____

☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.

3. ☒ The amendments have resulted in the cancellation of:

☐ the description, pages _____

☒ the claims, Nos. 1-2

☐ the drawings, sheets/figs _____

☐ the sequence listing (*specify*): _____

☐ any table(s) related to sequence listing (*specify*): _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

☐ the description, pages _____

☐ the claims, Nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing (*specify*): _____

☐ any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/CN03/01046

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement:

Novelty (N)	Claims <u>1-18</u>	YES
	Claims _____	NO
Inventive step (IS)	Claims <u>1-18</u>	YES
	Claims _____	NO
Industrial applicability (IA)	Claims <u>1-18</u>	YES
	Claims _____	NO

2. Citations and explanations (Rule 70.7)

D1:CN1207392A; D2: US6407073B1; D3: US5723476A

D1,D2 and D3 don't disclosed the compounds which have the same structure with the present invention. And one skilled in the art cannot obtain the use of them. So, claims 1-18 meet the criteria set out in PCT Article 33 (2) and 33 (3).

Claim1-18 meet the request of PCT Article 33(4), because all the compositions can be made for the purpose of industrial applicability.